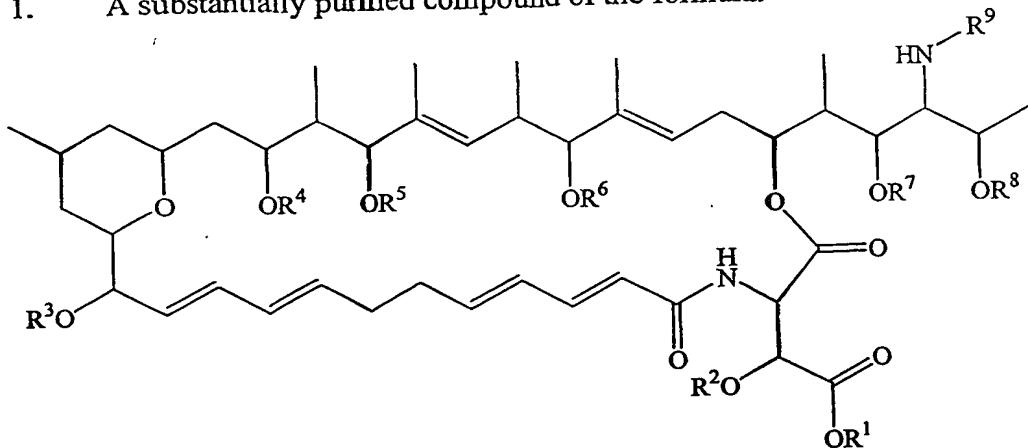


WHAT IS CLAIMED IS:

1. A substantially purified compound of the formula:



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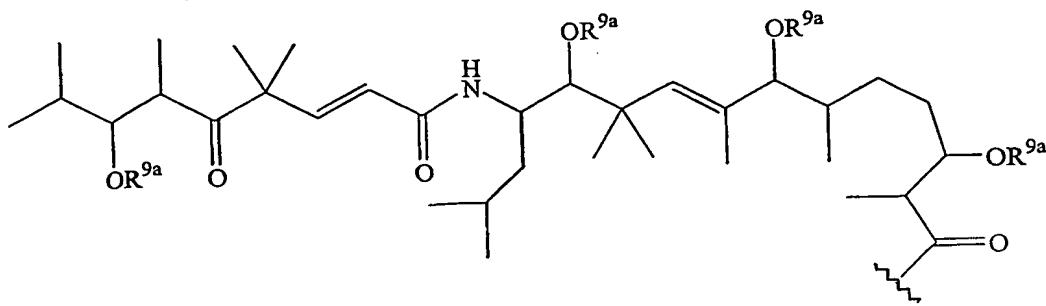
(I),

wherein:

R^1 is H, a straight-chain or branched C_{1-30} saturated alkyl, a straight-chain or branched C_{2-30} unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring skeleton thereof, wherein R^1 is unsubstituted or substituted with one or more substituents, which are the same or different, selected from the group consisting of a halogen, an oxo, OR^{1a} , CO_2R^{1a} , and $OC(O)R^{1a}$, wherein R^{1a} is H, a straight-chain or branched C_{1-30} saturated alkyl, a straight-chain or branched C_{2-30} unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring skeleton thereof;

R^2-R^8 are the same or different and each is R^{10} , $C(O)R^{10}$, SO_3R^{10} , or SO_2R^{10} , wherein R^{10} is H, a straight-chain or branched C_{1-30} saturated alkyl, a straight-chain or branched C_{2-30} unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring skeleton thereof, wherein R^{10} is unsubstituted or substituted with one or more substituents, which are the same or different, selected from the group consisting of a halogen, an oxo, OR^{10a} , CO_2R^{10a} and $OC(O)R^{10a}$, wherein R^{10a} is H, a straight-chain or branched C_{1-30} saturated alkyl, a straight-chain or branched C_{2-30} unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring skeleton thereof; and

R^9 is a substituent of the formula:



wherein the R^{9a} substituents are the same or different and each is R^{11} , $C(O)R^{11}$, or SO_2R^{11} , wherein R^{11} is H, a straight-chain or branched C_{1-30} saturated alkyl, a straight-chain or branched C_{2-30} unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring

5 skeleton thereof, wherein R^{11} is unsubstituted or substituted with one or more substituents, which are the same or different, selected from the group consisting of a halogen, an oxo, OR^{11a} , CO_2R^{11a} and $OC(O)R^{11a}$, wherein R^{11a} is H, a straight-chain or branched C_{1-30} saturated alkyl, a straight-chain or branched C_{2-30} unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring skeleton thereof;

10 wherein R^{1a} , R^{10a} and R^{11a} are unsubstituted or substituted with one or more substituents selected from the group consisting of a halogen, an oxo, and a hydroxyl; or a pharmaceutically acceptable salt or prodrug thereof.

2. The compound of claim 1, wherein R^1-R^8 are selected from the group consisting of H and a straight-chain or branched C_{1-30} saturated alkyl.

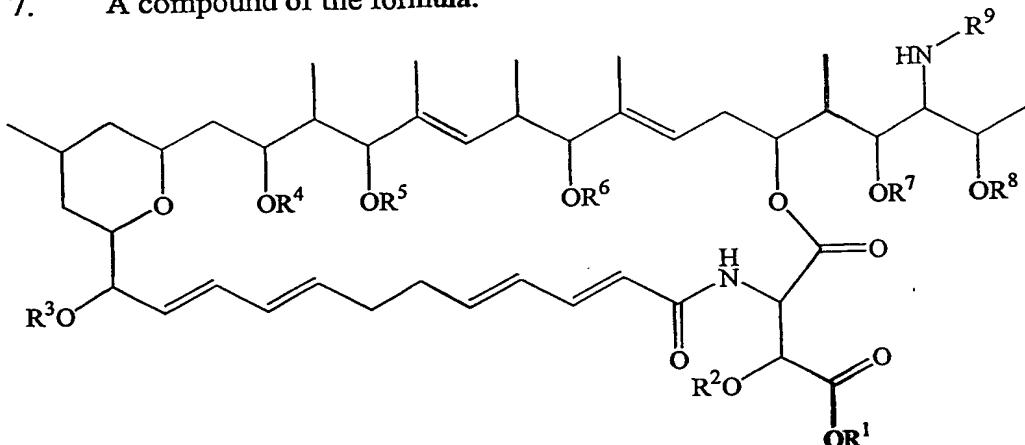
3. The compound of claim 2, wherein R^3 is H or methyl.

4. The compound of claim 1, wherein R^{9a} is selected from the group consisting of H and a straight-chain or branched C_{1-30} saturated alkyl.

5. The compound of claim 4, wherein all of the R^{9a} substituents are H.

6. The compound of claim 1, wherein R^3 is methyl and each of R^1 , R^2 , R^4-R^8 and R^{9a} are H.

7. A compound of the formula:



(I),

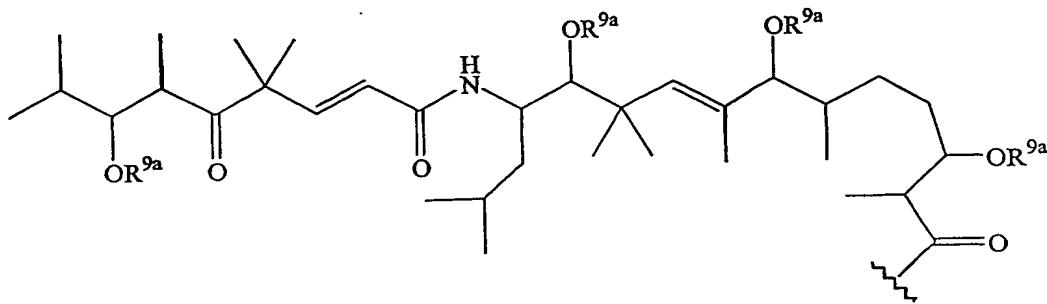
5

wherein:

R¹ is H, a straight-chain or branched C₁₋₃₀ saturated alkyl, a straight-chain or branched C₂₋₃₀ unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring skeleton thereof, wherein R¹ is unsubstituted or substituted with one or more substituents, which are the same or different, selected from the group consisting of a halogen, an oxo, OR^{1a}, CO₂R^{1a}, and OC(O)R^{1a}, wherein R^{1a} is H, a straight-chain or branched C₁₋₃₀ saturated alkyl, a straight-chain or branched C₂₋₃₀ unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring skeleton thereof;

R²-R⁸ are the same or different and each is R¹⁰, C(O)R¹⁰, SO₃R¹⁰, or SO₂R¹⁰, wherein R¹⁰ is H, a straight-chain or branched C₁₋₃₀ saturated alkyl, a straight-chain or branched C₂₋₃₀ unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring skeleton thereof, wherein R¹⁰ is unsubstituted or substituted with one or more substituents, which are the same or different, selected from the group consisting of a halogen, an oxo, OR^{10a}, CO₂R^{10a} and OC(O)R^{10a}, wherein R^{10a} is H, a straight-chain or branched C₁₋₃₀ saturated alkyl, a straight-chain or branched C₂₋₃₀ unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring skeleton thereof; and

R⁹ is a substituent of the formula:

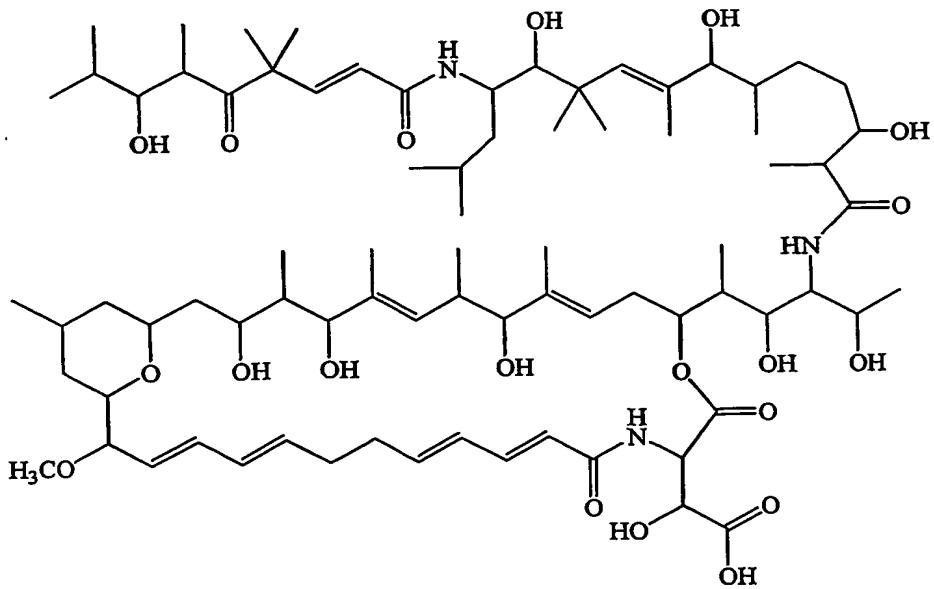


wherein the R^{9a} substituents are the same or different and each is R^{11} , $C(O)R^{11}$, or SO_2R^{11} , wherein R^{11} is H, a straight-chain or branched C_{1-30} saturated alkyl, a straight-chain or branched C_{2-30} unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring

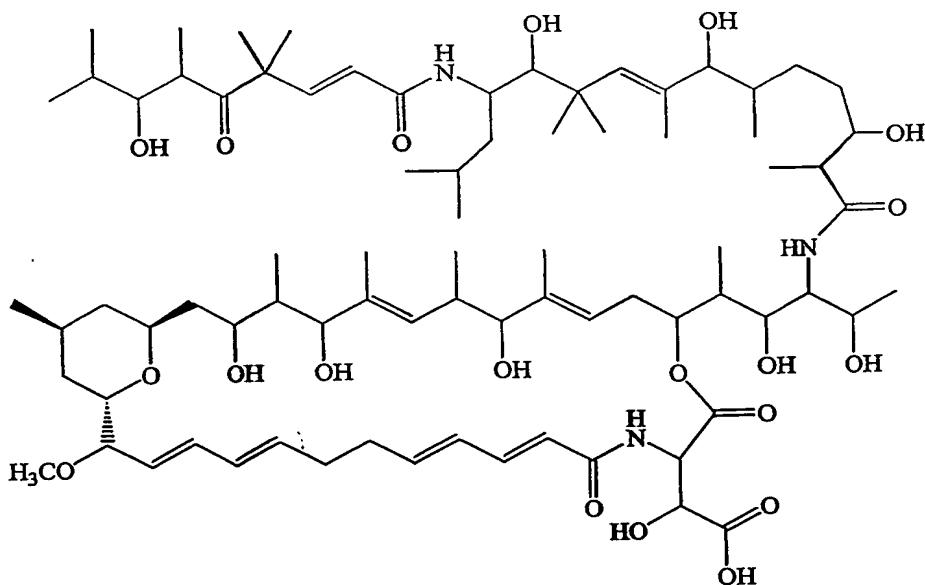
5 skeleton thereof, wherein R^{11} is unsubstituted or substituted with one or more substituents, which are the same or different, selected from the group consisting of a halogen, an oxo, OR^{11a} , CO_2R^{11a} and $OC(O)R^{11a}$, wherein R^{11a} is H, a straight-chain or branched C_{1-30} saturated alkyl, a straight-chain or branched C_{2-30} unsaturated alkyl, or an aryl comprising 6-10 carbon atoms in the ring skeleton thereof;

10 wherein R^{1a} , R^{10a} and R^{11a} are unsubstituted or substituted with one or more substituents selected from the group consisting of a halogen, an oxo, and a hydroxyl; or a pharmaceutically acceptable salt thereof, provided that the compound is not poecillastrin A.

15 8. The compound of claim 1 of the formula:



9. The compound of claim 1 of the formula:



5

10. A composition comprising a therapeutically effective amount of at least one compound of claim 1, optionally at least one additional therapeutic agent, and a pharmaceutically acceptable carrier.

10 11. A method of preventing or treating a patient for a condition treatable by the inhibition of vacuolar-type (H⁺)-ATPase, said method comprising administering to the patient a vacuolar-type (H⁺)-ATPase-inhibiting effective amount of at least one compound of claim 1, whereupon the patient is treated for the condition.

15 12. The method of claim 11, wherein said condition is selected from the group consisting of osteoporosis, Alzheimer's disease, glaucoma, fertility, abnormal urinary acidification, abnormal secretion of degradative enzymes, fungal infection and cancer.

20 13. The method of claim 11, wherein said vacuolar-type (H⁺)-ATPase inhibiting-effective amount is effective to inhibit one or more conditions selected from the group consisting of intra-organellar acidification of intracellular organelles, urinary

acidification, bone resorption, fertility, drug-resistance of tumor cells, tumor cell proliferation, cellular invasiveness, angiogenesis, and metastasis.

14. The method of claim 11, wherein the method further comprises
5 administering a vacuolar-type (H⁺)-ATPase inhibiting-effective amount of at least one additional compound other than a compound of formula (I), which inhibits vacuolar-type (H⁺)-ATPase.

15. A method of preventing or treating a patient for cancer, which method
10 comprises administering to the patient an anticancer effective amount of at least one compound of claim 1, whereupon the patient is treated for cancer.

16. The method of claim 15, wherein the method further comprises
administering an anticancer effective amount of at least one additional compound other than
a compound of formula (I), which is an anti-cancer compound.

15
17. The method of claim 15, wherein the cancer is selected from the group
consisting of human leukemias, lymphomas, melanomas and solid tumors.

18. The method of claim 17, wherein the solid tumor is selected from the group
20 consisting of lung cancer, colon cancer, CNS cancer, melanoma, ovarian cancer, renal
cancer, prostate cancer, head and neck cancer, testicular cancer, germ-line cancers,
endocrine tumors, uterine cancer, breast cancer, sarcomas, gastric cancer, hepatic cancer,
esophageal cancer and pancreatic cancer.

25
19. The method of claim 15, wherein the cancer is selected from the group
consisting of colon cancer, melanoma, breast cancer, ovarian cancer and non-small lung
cancer.